Gembeh 10/539,833

11/07/2006

=> d ibib abs ind hitstr lll l-1

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:550873 HCAPLUS

DOCUMENT NUMBER:

141:82339

TITLE:

Use of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids with serum

glucose-lowering and serum lipid-lowering activity

INVENTOR(S):

Giannessi, Fabio; Tassoni, Emanuela ; Tinti, Maria Ornella; Pessotto, Pompeo; Dell'Uomo, Natalina; Sciarroni, Anna Floriana; Brunetti, Tiziana; Milazzo, Ferdinando Maria

PATENT ASSIGNEE(S):

Sigma-Tau Industrie Farmaceutiche Riunite S.p.A.,

Italy

SOURCE:

PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
WO 2004056355	A1	20040708	WO 2003-IT820	20031216				
W: AE, AG,	AL, AM, AT	C, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,				
CO, CR,	CU, CZ, DE	E, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,				
GM, HR,	HU, ID, IL	, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,				
LS, LT,	LU, LV, MA	A, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,				
PG, PH,	PL, PT, RO), RU, SC,	SD, SE, SG, SK, SL,	SY, TJ, TM, TN,				
· ·			VC, VN, YU, ZA, ZM,					
•	•		SD, SL, SZ, TZ, UG,					
			AT, BE, BG, CH, CY,					
			IT, LU, MC, NL, PT,					
•	•		GA, GN, GQ, GW, ML,					
			CA 2003-2506627					
AU 2003288546	A1	20040714	AU 2003-288546					
EP 1572180	A1	20050914	EP 2003-780669					
			GB, GR, IT, LI, LU,					
IE, SI,	LT, LV, FI	, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK				
BR 2003017359	Α	20051108	BR 2003-17359	20031216				
CN 1728992	Α	20060201	CN 2003-80106699					
JP 2006512362	Т2	20060413	JP 2004-561981	20031216				
PRIORITY APPLN. INFO	:		IT 2002-RM629	A 20021219				
			WO 2003-IT820	W 20031216				
OTHER SOURCE(S):	MARPAT	141:82339	€					

GΙ

$$R - (CH_2)_n + Q$$
 P
 R_1
 R_2

AΒ The invention describes the use of derivs. of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids I [R = H, (un)substituted

(hetero)aryl; n = 0-3; p = 0, 1; X = OH, O-(C1-4 alkyl); R1, R2 = H, C1-5 alkyl, COX; Q = NH, O, S, NHC(O)O, etc.; Y = O, S] for the preparation of a medicament for the prophylaxis and treatment of diabetes, particularly type 2 diabetes, its complications, the various forms of insulin resistance, and hyperlipidemias. Compound preparation is also described.

IC ICM A61K031-19

ICS C07C053-134; C07C327-16; A61P003-06; A61P003-08; A61P003-10

CC 1-10 (Pharmacology)

Section cross-reference(s): 25

- ST phenylthiocarboxylate phenyloxycarboxylate deriv prepn hypoglycemic hypolipidemic; insulin resistance hyperlipidemia treatment phenylthiocarboxylate phenyloxycarboxylate deriv; antidiabetic NIDDM phenylthiocarboxylate phenyloxycarboxylate deriv
- IT Antiarteriosclerotics
 (antiatherosclerotics; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Drug delivery systems
 (capsules; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
 with serum glucose-lowering and serum lipid-lowering activity)
- IT Drug delivery systems
 (controlled-release; phenylthiocarboxylic and phenyloxycarboxylic acid
 derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Artery, disease (coronary; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Drug delivery systems
 (depot; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
 serum glucose-lowering and serum lipid-lowering activity)
- IT Blood vessel, disease (diabetic macroangiopathy; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Blood vessel, disease (diabetic microangiopathy; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Kidney, disease (diabetic nephropathy; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Nerve, disease (diabetic neuropathy; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Eye, disease
 (diabetic retinopathy; phenylthiocarboxylic and phenyloxycarboxylic
 acid derivs. with serum glucose-lowering and serum lipid-lowering
 activity)
- IT Liver, disease (fatty; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)
- IT Heart, disease (infarction; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

11/07/2006

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Drug delivery systems
IT
        (injections, s.c.; phenylthiocarboxylic and phenyloxycarboxylic acid
        derivs. with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
IT
        (injections; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
ΙT
        (liposomes; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
ΙT
        (liqs.; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
ΙT
    Metabolic disorders
        (metabolic syndrome X; phenylthiocarboxylic and phenyloxycarboxylic
        acid derivs. with serum glucose-lowering and serum lipid-lowering
        activity)
     Liver, disease
ΙT
        (non-alc. fatty liver disease; phenylthiocarboxylic and
        phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum
        lipid-lowering activity)
ΙT
     Diabetes mellitus
        (non-insulin-dependent; phenylthiocarboxylic and phenyloxycarboxylic
        acid derivs. with serum glucose-lowering and serum lipid-lowering
        activity)
     Hepatitis
TT
        (nonalc. steatohepatitis; phenylthiocarboxylic and phenyloxycarboxylic
        acid derivs. with serum glucose-lowering and serum lipid-lowering
        activity)
     Drug delivery systems
ΙT
        (oral; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
ΙT
        (parenterals; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     Blood vessel, disease
ΙT
        (peripheral; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
ΙT
     Anticholesteremic agents
     Antidiabetic agents
     Antihypertensives
     Antiobesity agents
     Atherosclerosis
     Cardiovascular agents
     Diabetes mellitus
     Hypercholesterolemia
     Hyperglycemia
     Hypertension
     Hypolipemic agents
     Nervous system agents
     Obesity
        (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum
        glucose-lowering and serum lipid-lowering activity)
     Glycerides, biological studies
TT
     High-density lipoproteins
     Hyperlipidemia
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum
        glucose-lowering and serum lipid-lowering activity)
IT
     Ovary, disease
        (polycystic; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
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with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
TΤ
        (powders; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
       with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
IT
        (solids; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
IT
        (solns.; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
ΙT
     Brain, disease
        (stroke; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
ΤT
     Drug delivery systems
        (suspensions; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
       with serum glucose-lowering and serum lipid-lowering activity)
ΙT
     Drug delivery systems
        (syrups; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with
        serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
IT
        (tablets, coated; phenylthiocarboxylic and phenyloxycarboxylic acid
        derivs. with serum glucose-lowering and serum lipid-lowering activity)
     Drug delivery systems
IT
        (tablets; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     Peroxisome proliferator-activated receptors
TΤ
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (a; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     Peroxisome proliferator-activated receptors
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (\gamma; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.
        with serum glucose-lowering and serum lipid-lowering activity)
     50-99-7, D-Glucose, biological studies 4429-04-3,
ΙT
     Fructosamine
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum
        glucose-lowering and serum lipid-lowering activity)
     566189-21-7P 566189-22-8P 566189-23-9P
TΤ
     566189-32-0P 566189-35-3P 566189-36-4P
     566189-42-2P 566189-43-3P 566189-44-4P
     714912-30-8P 714912-31-9P 714912-32-0P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum
        glucose-lowering and serum lipid-lowering activity)
     566189-24-0P 566189-39-7P 566189-41-1P
TΤ
     566189-45-5P 714912-24-0P 714912-25-1P
     714912-26-2P 714912-27-3P 714912-28-4P
     714912-29-5P 714912-33-1P 714912-34-2P
     714912-35-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum
        glucose-lowering and serum lipid-lowering activity)
     120-72-9, Indole, reactions 540-51-2, 2-Bromoethanol
ΙT
     637-89-8, 4-Mercaptophenol 1484-14-6,
     9H-Carbazole-9-ethanol 1485-07-0, 2-(2-Naphthyl)ethanol
```

1875-88-3, 4-Chlorophenethyl alcohol 2493-04-1, 5-Nitrofurfuryl alcohol 23426-63-3, Methyl 2-bromoisobutyrate 40248-84-8, 3-Mercaptophenol 81156-68-5, 2,4-Dichlorophenethyl alcohol 374818-89-0 714912-36-4 RL: RCT (Reactant); RACT (Reactant or reagent) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) 121459-15-2P, 1-(2-Hydroxyethyl)indole 566189-18-2P IT 566189-20-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) 12619-70-4D, Cyclodextrin, complexes TΤ RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) 9004-10-8, Insulin, biological studies IT RL: BSU (Biological study, unclassified); BIOL (Biological study) (resistance; phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) TT 50-99-7, D-Glucose, biological studies 4429-04-3, Fructosamine RL: BSU (Biological study, unclassified); BIOL (Biological study) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) RN 50-99-7 HCAPLUS CN D-Glucose (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 4429-04-3 HCAPLUS
CN D-Fructose, 1-amino-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 glucose-lowering and serum lipid-lowering activity)

RN 566189-21-7 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-22-8 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-23-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-(2-naphthalenyl)ethoxy]phenyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-32-0 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[3-[2-(2-naphthalenyl)ethoxy]phenyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-35-3 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-36-4 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-42-2 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{CH}_2\text{-CH}_2\text{-O} \\ \text{Me} \\ \text{S-C-C-OMe} \\ \text{Me} \end{array}$$

RN 566189-43-3 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \text{Me O} \\ & \parallel & \parallel \\ S-C-C-OMe \\ & \text{Me} \end{array}$$

RN 566189-44-4 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(9H-carbazol-9-yl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 714912-30-8 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(5-methoxy-1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 714912-31-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[5-(phenylmethoxy)-1H-indol-1-yl]ethoxy]phenyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

RN 714912-32-0 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[3-[[5-(4-nitrophenyl)-2-furanyl]oxy]phenyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

IT 566189-24-0P 566189-39-7P 566189-41-1P

566189-45-5P 714912-24-0P 714912-25-1P 714912-26-2P 714912-27-3P 714912-28-4P

714912-29-5P 714912-33-1P 714912-34-2P

714912-35-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

RN 566189-24-0 HCAPLUS

CN

Propanoic acid, 2-methyl-2-[[4-[2-(2-naphthalenyl)ethoxy]phenyl]thio]-(9CI) (CA INDEX NAME)

RN 566189-39-7 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 566189-41-1 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 566189-45-5 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(9H-carbazol-9-yl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 714912-24-0 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

$$C1$$
 CH_2-CH_2-O
 $S-C-CO_2H$
 Me
 Me
 Me
 Me
 Me
 Me

RN 714912-25-1 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

$$C1$$
 CH_2-CH_2-O
 Me
 $S-C-CO_2H$
 Me
 Me

RN 714912-26-2 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(9H-carbazol-9-yl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 714912-27-3 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 714912-28-4 HCAPLUS

CN Propanoic acid, 2-[[3-[2-(1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 714912-29-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[3-[2-(2-naphthalenyl)ethoxy]phenyl]thio]-(9CI) (CA INDEX NAME)

RN 714912-33-1 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(5-methoxy-1H-indol-1-yl)ethoxy]phenyl]thio]-2-methyl- (9CI) (CA INDEX NAME)

RN 714912-34-2 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[5-(phenylmethoxy)-1H-indol-1-yl]ethoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

RN 714912-35-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[3-[[5-(4-nitrophenyl)-2-furanyl]oxy]phenyl]thio]- (9CI) (CA INDEX NAME)

ΙT 120-72-9, Indole, reactions 540-51-2, 2-Bromoethanol 637-89-8, 4-Mercaptophenol 1484-14-6, 9H-Carbazole-9-ethanol 1485-07-0, 2-(2-Naphthyl)ethanol 1875-88-3, 4-Chlorophenethyl alcohol 2493-04-1, 5-Nitrofurfuryl alcohol 23426-63-3, Methyl 2-bromoisobutyrate 40248-84-8, 3-Mercaptophenol 81156-68-5, 2,4-Dichlorophenethyl alcohol 374818-89-0 714912-36-4 RL: RCT (Reactant); RACT (Reactant or reagent) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity) 120-72-9 HCAPLUS RN CN 1H-Indole (9CI) (CA INDEX NAME)

RN 540-51-2 HCAPLUS

CN Ethanol, 2-bromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Br-CH2-CH2-OH

RN 637-89-8 HCAPLUS

CN Phenol, 4-mercapto- (9CI) (CA INDEX NAME)

RN 1484-14-6 HCAPLUS

CN 9H-Carbazole-9-ethanol (9CI) (CA INDEX NAME)

RN 1485-07-0 HCAPLUS

CN 2-Naphthaleneethanol (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

RN 1875-88-3 HCAPLUS

CN Benzeneethanol, 4-chloro- (9CI) (CA INDEX NAME)

RN 2493-04-1 HCAPLUS

CN 2-Furanmethanol, 5-nitro- (9CI) (CA INDEX NAME)

RN 23426-63-3 HCAPLUS

CN Propanoic acid, 2-bromo-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 40248-84-8 HCAPLUS

CN Phenol, 3-mercapto- (9CI) (CA INDEX NAME)

RN 81156-68-5 HCAPLUS

CN Benzeneethanol, 2,4-dichloro- (9CI) (CA INDEX NAME)

RN 374818-89-0 HCAPLUS

CN 1H-Indole-1-ethanol, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 714912-36-4 HCAPLUS

CN 1H-Indole-1-ethanol, 5-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OH} \end{array}$$

IT 121459-15-2P, 1-(2-Hydroxyethyl)indole 566189-18-2P

566189-20-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

RN 121459-15-2 HCAPLUS

CN 1H-Indole-1-ethanol (9CI) (CA INDEX NAME)

RN 566189-18-2 HCAPLUS

CN Propanoic acid, 2-[(4-hydroxyphenyl)thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-20-6 HCAPLUS

CN Propanoic acid, 2-[(3-hydroxyphenyl)thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

IT 12619-70-4D, Cyclodextrin, complexes

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

RN 12619-70-4 HCAPLUS

CN Cyclodextrin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 9004-10-8, Insulin, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (resistance; phenylthiocarboxylic and phenyloxycarboxylic acid derivs.

with serum glucose-lowering and serum lipid-lowering activity)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 15:33:15 ON 11 JUL 2006)

FILE 'HCAPLUS' ENTERED AT 15:33:32 ON 11 JUL 2006 E GIANNESSI FABIO/AU 54 S E2-3 L1E TASSONI EMANUELA/AU L224 S E2-3 E TINTI MARIA ORNELLA/AU 94 S E2-4 L3 E PESSOTTO POMPEO/AU L416 S E2-3 E DELLUOMO NATALINA/AU E DELL UOMO NATALINA/AU L514 S E3 E SCIARRONI ANNA FLORIANA/AU 9 S E2-4 L6

E BRUNETTI TIZIANA/AU

L7 6 S E2-3
E MILAZZO FERDINANDO MARIA/AU

L8 5 S E1-3

L9 2 S L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND L8 SELECT RN L9 2

FILE 'REGISTRY' ENTERED AT 15:36:01 ON 11 JUL 2006 L10 44 S E1-44

=> d

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

RN 566189-21-7 REGISTRY

ED Entered STN: 14 Aug 2003

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-,

methyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H21 Cl O3 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ED Entered STN: 14 Aug 2003

=> d ibib abs hitstr 18 1-2

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

2004:550873 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:82339

TITLE: Use of α -phenylthiocarboxylic and

α-phenyloxycarboxylic acids with serum

glucose-lowering and serum lipid-lowering activity Giannessi, Fabio; Tassoni, Emanuela; Tinti, Maria INVENTOR(S): Ornella; Pessotto, Pompeo; Dell'Uomo, Natalina;

Sciarroni, Anna Floriana; Brunetti, Tiziana; Milazzo,

Ferdinando Maria

Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., PATENT ASSIGNEE(S):

Italy

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE			į	APPL	ICAT	ION I	DATE						
WO	2004	A1	20040708			1	WO 2	003-	IT820	20031216								
	W: AE, AG, AL,			AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
					-		MD,									-		
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	
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							ТJ,											
							HU,											
							CI,											TG
	CA 2506627																	
	AU 2003288546																	
EP	1572								14 EP 2003-780669									
	R:		,	•	•	•	ES,	•				•			•		PT,	
							RO,											
	BR 2003017359																	
CN	CN 1728992			Α										20031216				
	JP 2006512362							JP 2004-561981										
US	US 2006154979				A1		2006	US 2005-539833						20050719				
PRIORIT	IORITY APPLN. INFO.:								IT 2002-RM629									
										WO 2	003-	IT82		W 20031216				
OTHER S	THER SOURCE(S):			MARI	PAT	141:	8233	9										

$$R-(CH_2)_n+Q$$

GI

The invention describes the use of derivs. of α -phenylthiocarboxylic AΒ and α -phenyloxycarboxylic acids I [R = H, (un)substituted

Ι

(hetero)aryl; n = 0-3; p = 0, 1; X = OH, O-(C1-4 alkyl); R1, R2 = H, C1-5 alkyl, COX; Q = NH, O, S, NHC(O)O, etc.; Y = O, S] for the preparation of a medicament for the prophylaxis and treatment of diabetes, particularly type 2 diabetes, its complications, the various forms of insulin resistance, and hyperlipidemias. Compound preparation is also described. 566189-21-7P 566189-42-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

RN 566189-21-7 HCAPLUS

IT

CN

Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 566189-42-2 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

$$C1$$
 CH_2-CH_2-O
 $S-C-C-OMe$
 Me
 O
 Me
 O
 Me
 O

IT 566189-39-7P 714912-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phenylthiocarboxylic and phenyloxycarboxylic acid derivs. with serum glucose-lowering and serum lipid-lowering activity)

RN 566189-39-7 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 714912-25-1 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-

(9CI) (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:570951 HCAPLUS

DOCUMENT NUMBER: 139:133346

TITLE: Preparation of derivatives of α -

phenylthiocarboxylic and α -phenyloxycarboxylic

acids useful for the treatment of diseases responding

to PPAR α activation

INVENTOR(S): Giannessi, Fabio; Dell'Uomo, Natalina; Tassoni,

Emanuela; Tinti, Maria Ornella; Sciarroni, Anna

Floriana; Bandera, Monica; Pessotto, Pompeo; Arduini,

Arduino

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A.,

Italy

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPI	LICAT	ION I	DATE				
	2003059875 2003059875						1	WO 2	2003-	IT11	20030115						
	W:	•		•							, BG,	•	-	•		-	-
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	, EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	, KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	, MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	, ML,	MR,	NE,	SN,	TD,	TG	
CA	CA 2472223					AA 20030724				CA 2	2003-	2472	20030115				
AU	AU 2003209679					A1 20030730				AU 2	2003-	2096	20030115				
EP	1474				A2 20041110				EP 2	2003-	7295	20030115					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
					•						TR,						
BR	2003										2003-						115
	JP 2005514456																
						A 20050525											
											2004-		20041110				
	PRIORITY APPLN. INFO.:												A 20020115				

WO 2003-IT11

W 20030115

OTHER SOURCE(S):

MARPAT 139:133346

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$$R - [Z]_{m} - [-C -]_{n} - [Q]_{p|I}$$

$$R - [Z]_{m} + [-C -]_{n} - [Q]_{p|I}$$

$$R - [Z]_{m} + [-C -]_{n} - [Q]_{p|I}$$

Title compds. I [R = H, YCR5R6COX, mono- bi- tricyclic (hetero)aryl; m = 0-1; n = 0-3; when n = 1, R3-4 = H, alkyl, when n = 2-3, R3 = R4 = H; p = 0-1; X = OH, alkoxy; R1-2, R5-6 = H, alkyl, alkoxy, acyl, etc.; Q, Z = NH, O, S, amido, etc.; Y = O, S] are prepared For instance, 4-mercaptophenol is reacted with Me α -bromoisobutyrate (CH3CN, NaH) to give Me 2-(4-hydroxyphenylthio)isobutyrate. Selected compds. exhibit PPAR α agonist activity at 2 μ M. I are useful for the treatment of heart failure, the hyperlipemias and atherosclerosis.

IT 566189-21-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of derivs. of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids as PPAR α agonists)

RN 566189-21-7 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

IT 566189-39-7P, 2-[[4-[2-(4-Chlorophenyl)ethoxy]phenyl]thio]-2-methylpropanoic acid 566189-42-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

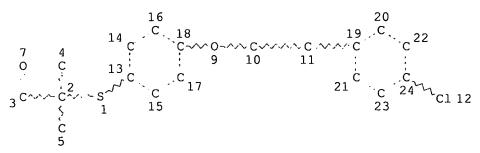
(preparation of derivs. of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids as PPAR α agonists)

RN 566189-39-7 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(4-chlorophenyl)ethoxy]phenyl]thio]-2-methyl-(9CI) (CA INDEX NAME)

RN 566189-42-2 HCAPLUS

CN Propanoic acid, 2-[[4-[2-(2,4-dichlorophenyl)ethoxy]phenyl]thio]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L7 4 SEA FILE=REGISTRY SSS FUL L5 L8 2 SEA FILE=HCAPLUS ABB=ON L7

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(FILE 'HOME' ENTERED AT 14:24:55 ON 17 JUL 2006)

FILE 'REGISTRY' ENTERED AT 14:25:11 ON 17 JUL 2006 STRUCTURE 566189-21-7 1.1 0 SEA SSS SAM L1 L2 L3 0 SEA SSS SAM L1 1 SEA ABB=ON 566189-21-7/RN L4STRUCTURE 566189-21-7 L50 SEA SSS SAM L5 L6 4 SEA SSS FUL L5 L7 D SCAN

FILE 'HCAPLUS' ENTERED AT 14:33:00 ON 17 JUL 2006

2 SEA ABB=ON L7

D AU 1-2

D IBIB ABS HITSTR L8 1-2

D QUE STAT L8

FILE HOME

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FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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FILE HCAPLUS

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